## Amendments to the Claims:

This listing of claims will replace all prior versions, and listings of claims in the application:

1. (Currently Amended) A compound of Formula (I), the racemic-diastereomeric mixtures, optical isomers or pharmaceutically-acceptable salts thereof,

wherein:

$$R_{a}$$
  $G_{\overline{i}}^{\overline{i}}(J_{1})_{a}$   $D_{1}$   $D_{1}$ 

where  $Z^{100}$  is  $^{1VL_2-L_2}$  or a group optionally substituted with  $R_b$  selected from the group consisting of cycloalkyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl,

thienyl, benzoxazolyl, benzothiazolyl,

benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, benzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

Z<sup>110</sup> is a covalent bond, or an optionally substituted (C<sub>1</sub>-C<sub>6</sub>) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, NO<sub>2</sub>, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

 $Z^{111}$  is a covalent bond, an optionally substituted ( $C_1$ - $C_6$ ) or an optionally substituted -  $(CH_2)_n$ -cycloalkyl- $(CH_2)_n$ -; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, NO<sub>2</sub>, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

 $R_a$  and  $R_b$  each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -NO<sub>2</sub>, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted arylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted arylalkyl, substituted aminoalkyl, substituted or unsubstituted arylalkyl, substituted aminoalkyl, substituted or unsubstituted arylalkyl, substituted arylalkyl, substituted or unsubstituted arylalkyl, substituted aryla

where R<sub>c</sub> for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, -CH<sub>2</sub>-NR<sub>d</sub>R<sub>e</sub>, -W-(CH<sub>2</sub>)<sub>t</sub>-NR<sub>d</sub>R<sub>e</sub>, -W-(CH<sub>2</sub>)<sub>t</sub>-O-alkyl, -W-(CH<sub>2</sub>)<sub>t</sub>-S-alkyl, or -W-(CH<sub>2</sub>)<sub>t</sub>-OH;

 $Z^{105}$  for each occurrence is independently a covalent bond or  $(C_1-C_6)$ ;

 $Z^{200}$  for each occurrence is independently a substituted or unsubstituted (C<sub>1</sub>-C<sub>6</sub>), substituted or unsubstituted phenyl or substituted or unsubstituted -(C<sub>1</sub>-C<sub>6</sub>)-phenyl;

 $R_d$  and  $R_e$  for each occurrence are independently H, alkyl, alkanoyl or  $SO_2$ -alkyl; or  $R_d$ ,  $R_e$  and the nitrogen atom to which they are attached together form pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring; t for each occurrence is independently an integer from 2 to 6; W for each occurrence is independently a direct bond or O, S, S(O), S(O)<sub>2</sub>, or NR<sub>f</sub>, wherein  $R_f$  for each occurrence is independently H or alkyl;

or  $R_1$  is a substituted or unsubstituted carbocyclic, thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl,

pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides fused with ring 2;

R<sub>3</sub> is hydrogen, hydroxy, substituted or unsubstituted alkyl or substituted or unsubstituted alkoxy;

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A is -O-; -S-; -S(O)<sub>p</sub>-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -N(SO<sub>2</sub>R)-; -CH<sub>2</sub>O-; -CH<sub>2</sub>S-; -CH<sub>2</sub>N(R)-; -CH(NR)-; -CH<sub>2</sub>N(C(O)R))-; -CH<sub>2</sub>N(C(O)OR)-; -CH<sub>2</sub>N(SO<sub>2</sub>R)-; -CH(NHR)-; -CH(NHC(O)R)-; -CH(NHSO<sub>2</sub>R)-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR); -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; -N(R)S(O)<sub>p</sub>-; -OC(O)N(R)-; ; -N(R)-C(O)-(CH<sub>2</sub>)<sub>n</sub>-N(R)-, -N(R)C(O)O-; -N(R)- (CH<sub>2</sub>)<sub>n+1</sub>-C(O)-, -S(O)<sub>p</sub>N(R)-; -O-(CR<sub>2</sub>)<sub>n+1</sub>-C(O)-, -O-(CR<sub>2</sub>)<sub>n+1</sub>-O-, -N(C(O)R)S(O)<sub>p</sub>-; -N(R)S(O)<sub>p</sub>N(R)-; -N(R)C(O)-(CH<sub>2</sub>)<sub>n</sub>-O-, -C(O)N(R)C(O)-; -S(O)<sub>p</sub>N(R)C(O)-; -OS(O)<sub>p</sub>N(R)-; -N(R)S(O)<sub>p</sub>O-; -N(R)S(O)<sub>p</sub>C(O)-; -SO<sub>p</sub>N(C(O)R)-; -N(R)SO<sub>p</sub>N(R)-; -C(O)O-; -N(R)P(OR<sub>g</sub>)O-; -N(R)P(OR<sub>g</sub>)-; -N(R)P(O)(OR<sub>g</sub>)O-; -N(R)P(O)(OR<sub>g</sub>)-; -N(C(O)R)P(OR<sub>g</sub>)O-; -N(C(O)R)P(OR<sub>g</sub>)-; -N(C(
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where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

 $R_g$  for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2;

or in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and  $R_g$  together form a pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrim<u>i</u>dinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring; or

A is NRSO<sub>2</sub> and R, R<sub>a</sub> and the nitrogen atom together form a substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted or unsubstituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted isothiazolyl, substituted or unsubstituted or unsubstitu

 $R_2$  is  $-Z^{101}-Z^{102}$ ;

Z<sup>101</sup> is a covalent bond,  $-(C_1-C_6)-$ ,  $-(C_1-C_6)-$ O-,  $-(C_1-C_6)-$ C(O)-,  $-(C_1-C_6)-$ C(O)O-,  $-(C_1-C_6)-$ C(O)O-,  $-(C_1-C_6)-$ C(O)-N((C<sub>1</sub>-C<sub>6</sub>))- or a substituted or unsubstituted phenyl group;

Z<sup>102</sup> is hydrogen, a substituted or unsubstituted alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline substituted or unsubstituted purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides, or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group;

> said substituted thienyl, substituted pyridyl, substituted pyrazolyl, substituted isoxazolyl, substituted thiadiazolyl, substituted oxadiazolyl, substituted indazolyl, substituted furanyl, substituted pyrrolyl, substituted imidazolyl, substituted pyrazolyl, substituted triazolyl, substituted pyrimidinyl, substituted pyrazinyl, substituted thiazolyl, substituted or isothiazolyl, substituted oxazolyl, substituted tetrazolyl, substituted benzo[b]thienyl, substituted benzimidazolyl, substituted benzoxazolyl, substituted benzothiazolyl, substituted benzothiadiazolyl, substituted benzodiazolyl, substituted indolyl, substituted tetrahydroindolyl, substituted azaindolyl, substituted indazolyl, substituted quinolinyl, substituted imidazopyridinyl, substituted quinazoline substituted purinyl, substituted pyrrolo[2,3-d]pyrimidinyl, substituted pyrazolo[3,4-d]pyrimidinyl or heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, substituted or unsubstituted alkoxy, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido; substituted or unsubstituted amino, oxo, a saturated, unsaturated or aromatic, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides;

wherein said nitrogen atoms are independently optionally substituted by a substituted or unsubstituted alkyl, substituted or unsubstituted arylaryl group; or

R<sub>2</sub> is of the formula B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted armino, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, hydroxy, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted azacycloalkyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted heteroarylcarbonylamino or substituted or unsubstituted aryl;

- a is 1 and  $D_1$ ,  $G_1$ ,  $J_1$ ,  $L_1$  and  $M_1$  are each independently selected from the group consisting of  $CR_a$  and N, provided that at least two of  $D_1$ ,  $G_1$ ,  $J_1$ ,  $L_1$  and  $M_1$  are  $CR_a$ ; or
- a is 0, and one of D<sub>1</sub>, G<sub>1</sub>, L<sub>1</sub> and M<sub>1</sub> is NR<sub>a</sub>, one of D<sub>1</sub>, G<sub>1</sub>, L<sub>1</sub> and M<sub>1</sub> is CR<sub>a</sub> and the remainder are independently selected from the group consisting of CR<sub>a</sub> and N, wherein R<sub>a</sub> is as defined above;
- b is 1 and D<sub>2</sub>, G<sub>2</sub>, J<sub>2</sub>, L<sub>2</sub> and M<sub>2</sub> are each independently selected from the group consisting of CR<sub>a</sub> and N, provided that at least two of D<sub>2</sub>, G<sub>2</sub>, J<sub>2</sub>, L<sub>2</sub> and M<sub>2</sub> are CR<sub>a</sub>; or
- b is 0, and one of D<sub>2</sub>, G<sub>2</sub>, L<sub>2</sub> and M<sub>2</sub> is NR<sub>a</sub>, one of D<sub>2</sub>, G<sub>2</sub>, L<sub>2</sub> and M<sub>2</sub> is CR<sub>a</sub> and the remainder are independently selected from the group consisting of CR<sub>a</sub> and N, wherein R<sub>a</sub> is as defined above; and
- n for each occurrence is independently an integer from 0 to 6;

wherein the substituents for  $R_a$ ,  $R_b$ ,  $R_c$ ,  $Z^{200}$ ,  $R_3$ ,  $R_1$ ,  $Z^{101}$ ,  $Z^{102}$ , B and E, are independently selected from the group consisting of alkyl,  $CF_3$ , alkoxy,  $OCF_3$ , halogen, hydroxyl, nitro, oxo, CN, COH, COOH, amino, N-alkylamino or N, N-dialkylamino,

esters aryl, aryalkyl, alkyl-O-C(O), alkoxyalkyl, heterocycloalkyl, optionally substituted phenyl, nitro and optionally substituted amino.

- 2. (Original) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>1</sub> for each occurrence is independently selected from the group consisting of F, Cl, Br, I, CH<sub>3</sub>, NO<sub>2</sub>, OCF<sub>3</sub>, OCH<sub>3</sub>, CN, CO<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, -CH<sub>2</sub>NR<sub>d</sub>R<sub>e</sub>, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.
- 3. (Original) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>a</sub> for each occurrence is independently selected from the group consisting of F, Cl, Br, I, CH<sub>3</sub>, NO<sub>2</sub>, OCF<sub>3</sub>, OCH<sub>3</sub>, CN, CO<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.
- 4. (Original) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



wherein n is 1, 2 or 3.

5. (Previously Amended) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula

wherein m is 0, 1, 2 or 3 and

R<sub>g</sub> is H or -(CH<sub>2</sub>)<sub>p</sub>N(R<sub>4</sub>)R<sub>5</sub>, wherein p is an integer from 2 to 6 and R<sub>4</sub> and
R<sub>5</sub> are each, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the
group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, -(CH<sub>2</sub>)<sub>q</sub>O-, -

> $(CH_2)_qNH_{-}$ , and  $-(CH_2)_qS(O)_r$ ; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkoxy, amino, aryl, heteroaryl and thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimdinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides alkyl group or R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

6. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

wherein m is 0, 1, 2 or 3 a and b are each, independently, an integer from 0 to 6;

Q is  $-OR_6$  or  $-NR_4R_5$ ;

each R<sub>4</sub> and R<sub>5</sub> is, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-,  $-(CH_2)_0$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH$ -, -CONH-,  $(CH_2)_0O$ -, -(CH<sub>2</sub>)<sub>0</sub>NH-, and -(CH<sub>2</sub>)<sub>0</sub>S(O)<sub>r</sub>-; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, amino, aryl, heteroaryl or thienyl alkyl, pyridylalkyl, pyrazolylalkyl, isoxazolylalkyl, thiadiazolylalkyl, oxadiazolylalkyl, indazolylalkyl, furanylalkyl, pyrrolylalkyl, imidazolylalkyl, pyrazolylalkyl, triazolylalkyl, pyrimidinylalkyl, pyrazinylalkyl, thiazolylalkyl, isothiazolylalkyl, oxazolylalkyl, tetrazolylalkyl, benzo[b]thienylalkyl, benzimidazolylalkyl, benzoxazolylalkyl, benzothiazolylalkyl, benzothiadiazolylalkyl, benzodiazolylalkyl, indolylalkyl, tetrahydroindolylalkyl, azaindolylalkyl, indazolylalkyl, quinolinylalkyl, imidazopyridinylalkyl, quinazoline purinylalkyl, pyrrolo[2,3d]pyrimidinyalkyl l or pyrazolo[3,4-d]pyrimidinylalkyl\_group or R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or

unsubstituted pyrazinyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzomidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted or unsubstituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted heterobicyclic group; and

R<sub>6</sub> is hydrogen or a substituted or unsubstituted alkyl group.

7. (Currently Amended) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula

wherein n is 1, 2 or 3; and

R<sub>4</sub> is H, azabicycloalkyl or Y-Z, wherein Y is selected

from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein q is an integer 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted oxadiazolylalkyl, substituted or unsubstituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted

> or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group.

8. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

$$R_6$$
 $N$ 
 $R_5$ 

wherein

m is 0, 1, 2 or 3;

 $R_5$  is H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of a covalent bond, -C(O)-,  $-(CH_2)_q$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH$ -, -CONH-,  $-(CH_2)_qO$ -,  $-(CH_2)_qNH$ -,  $-(CH_2)_qC(O)$ -,  $-C(O)(CH_2)_q$ - and  $-(CH_2)_qS(O)_r$ -, where the alkyl portion of  $-(CH_2)_q$ -,  $-(CH_2)_qO$ -,  $-(CH_2)_qNH$ -,  $-(CH_2)_qC(O)$ -,  $-C(O)(CH_2)_q$ - and  $-(CH_2)_qS(O)_r$  is optionally substituted by a halogen, hydroxy or an alkyl group; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or

> unsubstituted amino, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolyl alkyl, substituted or unsubstituted quinolinyl alkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or Y and Z together are a natural or unnatural amino acid, which may be mono- or dialkylated at the amine nitrogen; and

> R<sub>6</sub> represents one or more substituents each independently selected from the group consisting of hydrogen, hydroxy, oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted or unsubstituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl,

substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted arylca

9. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

wherein  $R_4$  is H, substituted or unsubstituted alkyl, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -  $(CH_2)_q$ -,-S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, - $(CH_2)_q$ O-, - $(CH_2)_q$ NH-, and -  $(CH_2)_q$ S(O)<sub>r</sub>-; wherein q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted

> pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

10. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

$$R_4$$
  $R_5$ 

wherein

m is an integer from 1 to 6; and

 $R_4$  and  $R_5$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or

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unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl\_group; or R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or

unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or

unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or

unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or

unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted or unsubstituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl\_or substituted or unsubstituted heterobicyclic group.

# 11. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

### wherein

n is an integer from 0 to 4;

r is 0 and m is an integer from 1 to 6; or

r is 1 and m is an integer from 0 to 6;

Q is  $-OR_6$  or  $-NR_4R_5$ ;

each  $R_4$  and  $R_5$  is, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>-,

-S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or

> unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl\_group; or R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl,

substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl\_group; and

R<sub>6</sub> is hydrogen or a substituted or unsubstituted alkyl group.

12. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

n is an integer from 0 to 4; m is an integer from 0 to 6;

 $R_4$  is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-,  $-(CH_2)_q$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH$ -, -CONH-,  $-(CH_2)_qO$ -,  $-(CH_2)_qNH$ -, and  $-(CH_2)_qS(O)_r$ -; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substi

unsubstituted oxazolylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted or unsubstituted quinolinylalkyl, substituted or unsubstituted or unsubstituted quinolinylalkyl, substituted or unsubstituted or unsubstituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; and  $R_6$  is hydrogen or a substituted or unsubstituted alkyl group.

13. (Currently Amended) The compound of Claim 10 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocyclic group of the formula

wherein

 $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$ ,  $R_{12}$ ,  $R_{13}$  and  $R_{14}$  are each, independently, lower alkyl or hydrogen; or at least one pair of substituents  $R_7$  and  $R_8$ ;  $R_9$  and  $R_{10}$ ;  $R_{11}$  and  $R_{12}$ ; or  $R_{13}$  and  $R_{14}$  together are an oxygen atom; or at least one of  $R_7$  and  $R_9$  is cyano, CONHR<sub>15</sub>, COOR<sub>15</sub>, CH<sub>2</sub>OR<sub>15</sub> or CH<sub>2</sub>NR<sub>15</sub>(R<sub>16</sub>), wherein  $R_{15}$  and  $R_{16}$  are each, independently, H, azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, - (CH<sub>2</sub>)<sub>p</sub>-,-S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and-(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or

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unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R<sub>15</sub>, R<sub>16</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl,

substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or a substituted or unsubstituted heterobicyclic group; X is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH<sub>2</sub>, -C(O)R<sub>17</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted arylalkyl, substituted or unsubstituted arylalkyl; and

t is 0 or 1.

14. (Currently Amended) The compound of Claim 10 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocycle of the formula

wherein

 $R_{19}$  and  $R_{20}$  are each, independently, hydrogen or lower alkyl; or  $R_{19}$  and  $R_{20}$  together are an oxygen atom;

 $R_{21}$  and  $R_{22}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-,  $-(CH_2)_p$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH$ -, -CONH-,  $(CH_2)_qO$ -,  $-(CH_2)_qNH$ -, and  $-(CH_2)_qS(O)_r$ -; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted o

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unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R<sub>21</sub>, R<sub>22</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group; m is an integer from 1 to 6; and

n is an integer from 0 to 6.

15. (Original) The compound of Claim 10 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocyclic group of the formula

wherein

m is an integer from 1 to 6; and

R<sub>23</sub> is CH<sub>2</sub>OH, NRR', C(O)NRR' or COOR, wherein R and R' are each, independently, hydrogen or substituted or unsubstituted alkyl, substituted or unsubstituted arylaryl.

16. (Currently Amended) The compound of Claim 10 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocyclic group of the formula

wherein R<sub>24</sub> is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano, C(O)OR<sub>25</sub>, CH<sub>2</sub>OR<sub>25</sub>, CH<sub>2</sub>NR<sub>26</sub>R<sub>27</sub> or C(O)NHR<sub>26</sub>, wherein R<sub>25</sub> is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl; and R<sub>26</sub> and R<sub>27</sub> are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected

from the group consisting of -C(O)-,  $-(CH_2)_p$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH$ -, -CONH-,  $(CH_2)_qO$ -,  $-(CH_2)_qNH$ -, and  $-(CH_2)_qS(O)_r$ -; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyridylalkyl, substituted or

> unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyl lkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or  $R_{26}$ ,  $R_{27}$  and the nitrogen atom together form a substituted or unsubstituted thienyl, pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or

unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

17. (Original) The compound of Claim 10 wherein at least one of  $R_4$  and  $R_5$  is of the formula Y-Z, wherein Z is of the formula

$$-N$$

wherein

T is C(O), S, SO, SO<sub>2</sub>, CHOR or NR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; and n is 0, 1 or 2.

18. (Currently Amended) The compound of Claim 10 wherein at least one of R<sub>4</sub> and R<sub>5</sub> is of the formula Y-Z, wherein Z is of the formula -N(R<sub>28</sub>)R<sub>29</sub>, wherein R<sub>28</sub> and R<sub>29</sub> are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxycarbonylalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or

R<sub>28</sub> and R<sub>29</sub>, together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted or unsubstituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted or

19. (Currently Amended) The compound of Claim 11 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocycle of the formula

#### wherein

 $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$ ,  $R_{12}$ ,  $R_{13}$  and  $R_{14}$  are each, independently, lower alkyl or hydrogen; or at least one pair of substituents  $R_7$  and  $R_8$ ;  $R_9$  and  $R_{10}$ ;  $R_{11}$  and  $R_{12}$ ; or  $R_{13}$  and  $R_{14}$  together are an oxygen atom; or at least one of  $R_7$  and  $R_9$  is cyano, CONHR<sub>15</sub>, COOR<sub>15</sub>, CH<sub>2</sub>OR<sub>15</sub> or CH<sub>2</sub>NR<sub>15</sub>( $R_{16}$ ), wherein  $R_{15}$  and  $R_{16}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-,-S(O)<sub>2</sub>-, -C(O)O-,

-SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>0</sub>O-, -(CH<sub>2</sub>)<sub>0</sub>NH-, and-(CH<sub>2</sub>)<sub>0</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or

> unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R<sub>15</sub>, R<sub>16</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or heterobicyclic group; X is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted

X is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted aryl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH<sub>2</sub>, -C(O)R<sub>18</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

20. (Currently Amended) The compound of Claim 11 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocycle of the formula

$$R_{19}$$
 $R_{20}$ 
 $H_{2}C$ 
 $R_{21}$ 
 $R_{21}$ 

#### wherein

 $R_{19}$  and  $R_{20}$  are each, independently, hydrogen or lower alkyl; or  $R_{19}$  and  $R_{20}$  together are an oxygen atom;

R<sub>21</sub> and R<sub>22</sub> are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-,  $-(CH_2)_p$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH-$ , -CONH-,  $(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ , and  $-(CH_2)_qS(O)_r-$ ; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted

> quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R<sub>21</sub>, R<sub>22</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group; m is an integer from 1 to 6; and n is an integer from 0 to 6.

(Original) The compound of Claim 11 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together 21. form a heterocyclic group of the formula

wherein

m is an integer from 1 to 6; and

R<sub>23</sub> is CH<sub>2</sub>OH, NRR', C(O)NRR' or COOR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group.

22. (Currently Amended) The compound of Claim 11 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocyclic group of the formula

wherein R<sub>24</sub> is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano, C(O)OR<sub>25</sub>, CH<sub>2</sub>OR<sub>25</sub>, CH<sub>2</sub>NR<sub>26</sub>R<sub>27</sub> or C(O)NHR<sub>26</sub>, wherein R<sub>25</sub> is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl group; and R<sub>26</sub> and R<sub>27</sub> are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-,-S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-,  $(CH_2)_qO$ -,  $-(CH_2)_qNH$ -, and  $-(CH_2)_qS(O)_r$ -; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or

> unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R<sub>26</sub>, R<sub>27</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

23. (Original) The compound of Claim 11 wherein at least one of R<sub>4</sub> and R<sub>5</sub> is of the formula Y-Z, wherein Z is of the formula

wherein

g is 0 or 1;

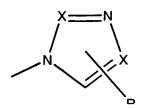
T is C(O), O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH<sub>2</sub>, -C(O)R<sub>18</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

24. (Currently Amended) The compound of Claim 11 wherein at least one of R<sub>4</sub> and R<sub>5</sub> is of the formula Y-Z, wherein Z is of the formula -N(R<sub>28</sub>)R<sub>29</sub>, wherein R<sub>28</sub> and R<sub>29</sub> are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxycarbonylalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or

R<sub>28</sub> and R<sub>29</sub>, together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted or unsubstituted tetrazolyl group.

- 25. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula  $N(R_{30})R_{31}$ , wherein  $R_{30}$  and  $R_{31}$  are each, independently, hydrogen, alkyl, alkoxycarbonyl, alkoxyalkyl, hydroxyalkyl, aminocarbonyl, cyano, alkylcarbonyl or arylalkyl.
- 26. (Original) The compound of Claim 8 wherein R<sub>5</sub> is Y-Z, wherein Z is of the formula



#### wherein

each X is, independently, CH or N; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

27. (Original) The compound of Claim 8 wherein R<sub>5</sub> is Y-Z, wherein Z is of the formula

$$-N$$
 $T$ 
 $R_{32}$ 

wherein

g is 0 or 1;

T is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, C(O)NH<sub>2</sub>, -C(NH)NH<sub>2</sub>, -C(O)R<sub>17</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

28. (Original) The compound of Claim 8 wherein R<sub>5</sub> is Y-Z, wherein Z is of the formula

$$-N$$
  $g$   $R_{32}$ 

wherein

g is 0, 1 or 2; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

29 (Original) The compound of Claim 8 wherein R<sub>5</sub> is Y-Z, wherein Z is of the formula

wherein

T is C(O), O, S, SO, SO<sub>2</sub>,  $CH_2$ ,  $CHOR_{17}$  or  $NR_{17}$ , wherein  $R_{17}$  is hydrogen, substituted or unsubstituted alkyl, aryl, arylalkyl,  $-C(NH)NH_2$ ,  $-C(O)R_{18}$ , or  $-C(O)OR_{18}$ , wherein  $R_{18}$  is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl;

g is 0 or 1; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

30. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula

wherein

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, alkylcarbonyl, substituted or unsubstituted thioalkoxy or substituted or unsubstituted arylalkyl; and

R<sub>33</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted aminocarbonyl, perhaloalkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

31. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

wherein

m is 0 or 1;

 $R_{34}$ ,  $R_{35}$ ,  $R_{36}$ ,  $R_{37}$ ,  $R_{38}$ ,  $R_{39}$ ,  $R_{40}$  and  $R_{41}$  are each, independently, methyl or hydrogen; or at least one pair of substituents  $R_{34}$  and  $R_{35}$ ;  $R_{36}$  and  $R_{37}$ ;  $R_{38}$  and  $R_{39}$ ; or  $R_{40}$  and  $R_{41}$  together are an oxygen atom; and

 $R_{42}$  is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-,  $-(CH_2)_p$ -,  $-S(O)_2$ -, -C(O)O-,  $-SO_2NH$ -, -CONH-,  $(CH_2)_qO$ -,  $-(CH_2)_qNH$ -, and  $-(CH_2)_qS(O)_r$ -; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted or unsubstituted indazolylalkyl, substituted or unsubstituted o

unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted or unsubstituted benzolylalkyl, substituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted or unsubstituted

R<sub>42</sub> is of the formula

wherein

u is 0 or 1;

R<sub>43</sub>, R<sub>44</sub>, R<sub>45</sub>, R<sub>46</sub>, R<sub>47</sub>, R<sub>48</sub>, R<sub>49</sub> and R<sub>50</sub> are each, independently, methyl or hydrogen; or at least one pair of substituents R<sub>43</sub> and R<sub>44</sub>; R<sub>45</sub> and R<sub>46</sub>; R<sub>47</sub> and R<sub>48</sub>; or R<sub>49</sub> and R<sub>50</sub> together are an oxygen atom; and

 $R_{51}$  is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-,-S(O)<sub>2</sub>-, -C(O)O-,

> -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and-(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

32. (Currently Amended) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula

## wherein

h, i, j, k and l are independently 0 or 1;

 $R_{52}$ ,  $R_{53}$ ,  $R_{54}$ ,  $R_{55}$ ,  $R_{56}$ ,  $R_{57}$ ,  $R_{58}$ ,  $R_{59}$ ,  $R_g$  and  $R_h$  are each, independently, methyl or hydrogen; or at least one pair of substituents  $R_{52}$  and  $R_{53}$ ;  $R_{54}$  and  $R_{55}$ ;  $R_{56}$  and  $R_{57}$ ; or  $R_{58}$  and  $R_{59}$  together are an oxygen atom; and

 $R_{60}$  is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-,-S(O)<sub>2</sub>-, -C(O)O-,

-SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>a</sub>O-, -(CH<sub>2</sub>)<sub>a</sub>NH-, and-(CH<sub>2</sub>)<sub>a</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolyl alkyl, substituted or unsubstituted pyrimidinyl alkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted

quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or

R<sub>60</sub> is of the formula

wherein

v is 0 or 1;

 $R_{61}$ ,  $R_{62}$ ,  $R_{63}$ ,  $R_{64}$ ,  $R_{65}$ ,  $R_{66}$ ,  $R_{67}$  and  $R_{68}$  are each, independently, lower alkyl or hydrogen; or at least one pair of substituents  $R_{61}$  and  $R_{62}$ ;  $R_{63}$  and  $R_{64}$ ;  $R_{65}$  and  $R_{66}$ ; and  $R_{67}$  and  $R_{68}$  together are an oxygen atom; and

 $R_{69}$  is H, substituted or unsubstituted azabicycloalkyl or V-1 V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-,-S(O)<sub>2</sub>-, -C(O)O-,

-SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>a</sub>O-, -(CH<sub>2</sub>)<sub>a</sub>NH-, and-(CH<sub>2</sub>)<sub>a</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimdinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or

unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

- 33. (Currently Amended) A method of inhibiting one or more protein kinase activity in a patient <u>in need thereof</u> comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient.
- 34. (Original) The method of Claim 33 wherein said protein kinase is selected from the group consisting of KDR, FGFR-1, PDGFRβ, PDGFRα, IGF-1R, c-Met, Flt-1, Flt-4, TIE-2, TIE-1, Lck, Src, fyn, Lyn, Blk, hck, fgr and yes.
- 35. (Previously Amended) A method of affecting thyroid hyperplasia, Grave's disease, cyst, hypervascularity of ovarian stroma characteristic of polycystic ovarian syndrome and polycystic kidney disease in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient.
- 36. (Cancelled)
- 37. (Original) The method of Claim 33 wherein the protein kinase is a protein serine/threonine kinase or a protein tyrosine kinase.
- 38. (Previously Amended) A method of treating one or more ulcers in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient.
- 39. (Original) The method of Claim 38 wherein the ulcer or ulcers are caused by a bacterial or fungal infection; or the ulcer or ulcers are Mooren ulcers; or the ulcer or ulcers are a symptom of ulcerative colitis.
- 40. (Previously Amended) A method of treating a condition in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient, wherein said condition is an ocular condition, Crow-Fukase (POEMS) syndrome, a diabetic condition, sickle cell anaemia, chronic inflammation, systemic lupus, glomerulonephritis, synovitis, inflammatory bowel

disease, Crohn's disease, glomerulonephritis, rheumatoid arthritis, osteoarthritis, multiple sclerosis, graft rejection, Lyme disease, sepsis, von Hippel Lindau disease, pemphigoid, psoriasis, Paget's disease, polycystic kidney disease, fibrosis, sarcoidosis, cirrhosis, thyroiditis, hyperviscosity syndrome, Osler-Weber-Rendu disease, chronic occlusive pulmonary disease, asthma or edema following burns, trauma, radiation, stroke, hypoxia, ischemia, ovarian hyperstimulation syndrome, preeclampsia, menometrorrhagia, endometriosis, or infection by Herpes simplex, Herpes Zoster, human immunodeficiency virus, parapoxvirus, protozoa, toxoplasmosis, a sarcoma, fibrosarcoma, osteoma, melanoma, retinoblastoma, a rhabdomyosarcoma, glioblastoma, neuroblastoma, teratocarcinoma, an hematopoietic malignancy, Kaposi's sarcoma, Hodgkin's disease, lymphoma, myeloma, leukaemia, malignant ascites, atherosclerosis, restenosis, ischemia/reperfusion injury, vascular occlusion, carotid obstructive disease, ocular or macular edema, ocular neovascular disease, scleritis, radial keratotomy, uveitis, vitritis, myopia, optic pits, chronic retinal detachment, post-laser treatment complications, conjunctivitis, Stargardt's disease, Eales disease, retinopathy or macular degeneration.

- 41. (Cancelled)
- 42. (Cancelled)
- 43. (Cancelled)
- 44. (Original) The method of Claim 40 wherein the diabetic condition is insulin-dependent diabetes mellitus glaucoma, diabetic retinopathy or microangiopathy.
- 45. (Previously Amended) A method of decreasing fertility in a patient, said method comprising the step of administering to the patient an effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof.
- 46. (Cancelled)
- 47. (Original) The method of Claim 34 wherein the protein kinase is Tie-2.
- 48. (Cancelled)
- 49. (Cancelled)
- 50. (Cancelled)
- 51. (Original) The method of Claim 33 wherein the protein kinase activity is involved in T cell activation, B cell activation, mast cell degranulation, monocyte activation, the potentiation of an inflammatory response or a combination thereof.

52. (Currently Amended) A compound according to Claim 1, wherein R<sub>3</sub> is H;

R<sub>2</sub> is -Z<sup>101</sup>-Z<sup>102</sup> where Z<sup>101</sup> is a covalent bond, -(C<sub>1</sub>-C<sub>6</sub>)-, -(C<sub>1</sub>-C<sub>6</sub>)-O-, -(C<sub>1</sub>-C<sub>6</sub>)-C(O)-, 
(C<sub>1</sub>-C<sub>6</sub>)-C(O)O-, -(C<sub>1</sub>-C<sub>6</sub>)-C(O)-NH-, -(C<sub>1</sub>-C<sub>6</sub>)-C(O)-N((C<sub>1</sub>-C<sub>6</sub>))- or a substituted phenyl group; and

Z<sup>102</sup> is hydrogen, a substituted or unsubstituted alkyl group or a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

53. (Original) A compound according to Claim 52, wherein Z<sup>101</sup> is selected from the group consisting of -CH<sub>2</sub>-C(O)O-, -CH<sub>2</sub>-C(O)-, -CH<sub>2</sub>-C(O)-NH-, -CH<sub>2</sub>-C(O)-N(Me)-, -CH(Me)-C(O)O-, -(CH<sub>2</sub>)<sub>3</sub>-C(O)O-, -CH(Me)-C(O)-NH-, and -(CH<sub>2</sub>)<sub>3</sub>-C(O)-NH-;

Z<sup>102</sup> is selected from the group consisting of hydrogen, methyl, ethyl, N,N-dimethylaminoethyl, N,N-diethylaminoethyl, 2-phenyl-2-hydroxyethyl, morpholino, piperazinyl, N-methylpiperazinyl and 2-hydroxymethylpyrrolidinyl.

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54. (Original) A compound according to Claim 53, wherein R<sub>1</sub> is

substituted or unsubstituted benzoxazolyl or a substituted or unsubstituted benzthiazolyl.

55. (Original) A compound according to Claim 8, 9, 10 or 53, wherein R<sub>1</sub> is

where there is only one Ra and it is H or F.

- 56. (Original) A compound according to Claim 52, wherein  $Z^{101}$  is a covalent bond; and  $Z^{102}$  is an optionally substituted pyridyl.
- 57. (Original) A compound according to Claim 56, wherein  $R_1$  is

$$- \underbrace{ \begin{array}{c} R_a \\ N \end{array} }_{N} \underbrace{ \begin{array}{c} H \\ N \end{array} }_{N} \underbrace{ \begin{array}{c} R_1 \\ N \end{array} }_{N}$$

58. (Original) A compound according to Claim 1, wherein  $R_3$  is H;  $R_2$  is cyclopentyl; and

$$R_{a}$$
  $Z^{110}A - Z^{111}Z^{100}$ 

59. (Original) A compound according to Claim 58, wherein

Z<sup>110</sup> is hydrogen;

A is O; and  $Z^{100}$  is optionally substituted phenyl, furanyl or thienyl, where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, COOH, NO<sub>2</sub>, OMe, -COOMe, OCF<sub>3</sub> and CF<sub>3</sub>.

60. (Original) A compound according to Claim 58, wherein Z<sup>110</sup> is hydrogen;

A is -O-, -O-( $CR_2$ )<sub>n</sub>-C(O)- or -O-( $CR_2$ )<sub>n</sub>-O-;

n for each occurrence is 0 to 3;

 $Z^{100}$  is an optionally substituted group selected from the group consisting of cyclohexyl, phenyl, tetrahydropyranyl, tetrahydrofuranyl, isoxazolyl and piperidinyl; where  $Z^{100}$  is optionally substituted with one or more substituents selected from the group consisting of alkyl, alkoxy, halo, hydroxy and alkoxycarbonyl.

- 61. (Original) A compound according to Claim 58, wherein R<sup>2</sup> is an optionally substituted group selected from the group consisting of cyclobutyl and cyclohexyl.
- 62. (Original) A compound according to Claim 61, wherein R<sup>2</sup> is optionally substituted with one or more substituents selected from the group consisting of hydroxy, alkyl, hydroxyalkyl, carboxyalkyl and phenylalkoxyalkyl.
- 63. (Original) A compound according to Claim 62, wherein R<sub>1</sub> is 4-phenoxyphenyl.
- 64. (Original) A compound according to Claim 6 wherein m is 2; a is 0; R<sub>6</sub> is H; b is 1 or 2; and R<sub>4</sub> and R<sub>5</sub> are each hydrogen.
- 65. (Currently Amended) A compound according to Claim 8, wherein m is 0, 1 or 2; R<sub>6</sub> is hydrogen; R<sub>5</sub> is H or Y-Z; where Y is a covalent bond, -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>-, -(CH<sub>2</sub>)<sub>q</sub>C(O)- or -C(O)(CH<sub>2</sub>)<sub>q</sub>-, where the alkyl portion of -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>p</sub>-, -(CH<sub>2</sub>)<sub>q</sub>C(O)- and -C(O)(CH<sub>2</sub>)<sub>q</sub>- is optionally substituted by a halogen, hydroxy or an alkyl group; and Z is hydrogen, alkyl, optionally substituted alkyl, alkoxyalkyl, optionally substituted thienylalkyl, optionally substituted pyridylalkyl, optionally substituted pyrazolylalkyl, optionally substituted thiadiazolylalkyl, optionally substituted furanylalkyl, optionally substituted indazolylalkyl, optionally substituted furanylalkyl, optionally substituted pyrrolylalkyl, optionally substituted imidazolylalkyl, optionally substituted triazolylalkyl, optionally

substituted pyrimidinylalkyl, optionally substituted pyrazinylalkyl, optionally substituted thiazolylalkyl, optionally substituted oxazolylalkyl, optionally substituted tetrazolylalkyl, optionally substituted benzo[b]thienylalkyl, optionally substituted benzomidazolylalkyl, optionally substituted benzoxazolylalkyl, optionally substituted benzothiazolylalkyl, optionally substituted benzothiadiazolylalkyl, optionally substituted benzothiadiazolylalkyl, optionally substituted indolylalkyl, optionally substituted indolylalkyl, optionally substituted azaindolylalkyl, optionally substituted indazolylalkyl, optionally substituted quinolinylalkyl, optionally substituted imidazopyridinylalkyl, optionally substituted quinazoline purinylalkyl, optionally substituted pyrrolo[2,3-d]pyrimidinylalkyl, optionally substituted pyrazolo[3,4-d]pyrimidinylalkyl\_, optionally substituted heteroaryl, or optionally substituted amino.

66. (Original) A compound according to Claim 65, wherein

Z is hydrogen, methyl, ethyl, hydroxymethyl, methoxyethyl, N-methyl-piperidinyl, (t-butoxycarbonyl)(hydroxy)-piperidinyl, hydroxypiperidinyl, (hydroxymethyl)piperdinyl, (hydroxy)(methyl)-piperidinyl, morpholino, (methoxyethyl)piperizinyl, methylpiperizinyl, 4-piperidinylpiperidinyl, imidazolyl, methylimidazolyl, N-methylamino, N,N-dimethylamino, N-isopropylamino, N,N-diethylamino, 2,3-dihydroxypropylamino, 2-hydroxyethylamino, 3-hydroxypropylamino, methoxyethylamino, ethoxycarbonylmethylamino, phenylmethylamino, N-methyl-N-methoxyamino,

HN , furanylmethylamino, piperidinylethylamino, N-(2-N,N-dimethylaminoethyl)-N-methylamino, 2-N,N-dimethylaminoethylamino, N-methyl-N-(N-methylpiperidin-4-yl)amino, 2-morpholino-ethylamino, 3-morpholino-propylamino, 3-imidazolylpropylamino, or 3-(2-oxopyrrolidinyl)propylamino.

67. (Original) A compound according to Claim 8, wherein m is 2; R<sub>5</sub> is Y-Z; Y is -C(O)-; and

$$Z$$
 is  $R$  where n is 0, 1, 2 or 3.

68. (Original) A compound according to Claim 9, wherein

R<sub>4</sub> is hydrogen or methyl;

$$R_1$$
 is  $R_2$ 

A is selected from the group consisting of O, -N(R)- and -N(R)C(O)-;

 $Z^{111}$  is -(CH<sub>2</sub>)<sub>n</sub>-cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-;

R is hydrogen or alkyl;

n is 0 to 5;

R<sub>a</sub> is one or more substituents each independently selected from the group consisting of H, OH, F, Cl, methyl and methoxy; and

R<sub>b</sub> is one or more substituents each independently selected from the group consisting of H, CN, F, CF<sub>3</sub>, OCF<sub>3</sub>, methyl, methoxy and an optionally substituted amino group; where said amino group is optionally substituted with one or two groups each independently selected from the group consisting of alkyl, alkoxyalkyl, phenyl, substituted phenyl, and optionally substituted heteroaryl.

- 69. (Original) A compound according to Claim 68, wherein R<sub>b</sub> is 4-methylphenylthio or 2-pyridinylthio.
- 70. (Original) A compound according to Claim 9, wherein

$$R_a$$
  $A$   $C_0$   $C_6$   $C_6$ 

R<sub>1</sub> is

where  $Z^{100}$  is selected from the group consisting of benzo[b]thiophene, furanyl and thiophene.

- 71. (Original) A compound according to Claim 9C, wherein  $R_a$  is alkoxy; A is -NH-C(O)-; and there is a covalent bond between A and  $Z^{100}$ .
- 72. (Original) A compound according to Claims 1, 8 or 9, wherein

$$R_1$$
 is  $R_2$  is

A is selected from the group consisting of -N(R)-C(O)-N(R)-,  $-(CH_2)_n-N(R)C(O)N(R)-$ , -N(R)- and  $-N(R)-SO_2-$ ; R is hydrogen or alkyl;

$$Z^{100} \text{ is } \overset{R_1}{\swarrow} \overset{N}{\swarrow} , \overset{N}{\swarrow} \overset{N}{\swarrow} , \overset{N}{\swarrow} \overset{N}{\swarrow} , \text{ pyridinyl, thiazolyl, furanyl,}$$

benzofuranyl or oxazolyl;

X is S, O or NR where R for each occurrence is independently H or Me;

R<sub>a</sub> is one or more substituents each independently selected from the group consisting of H and F; and

R<sub>b</sub> is one or more substituents each independently selected from the group consisting of H, F, Cl, Br, NO<sub>2</sub>, CF<sub>3</sub>, alkyl, alkoxy and alkoxycarbonyl.

- 73. (Original) A compound according to Claim 72, wherein

  R<sub>4</sub> is methyl; m is 1, 2 or 3; R<sub>5</sub> is Y-Z, where Y is -C(O)O-, -C(O)- or -C(O)-(CH<sub>2</sub>)<sub>p</sub>-; and

  Z is aminoalkyl, N-alkylamino, N,N-dialkylamino or hydroxyalkylaminoalkyl.
- 74. (Original) A compound according to Claim 9, wherein R<sub>4</sub> is methyl; R<sub>1</sub> is

$$- \bigvee_{O} \bigvee_{O} (CH_2)_{\overline{n}} Z^{100}$$

where n is 0 to 3;  $Z^{100}$  is an optionally substituted

group selected from the group consisting of indolyl, indenyl, methylindenyl, methylindolyl, dimethylaminophenyl, phenyl, cyclohexyl and benzofuranyl.

75. (Original) A compound according to claim 9, wherein

$$R_a$$
  $Z^{110}A - Z^{111}Z^{100}$ 

Z<sup>100</sup> is an optionally substituted group selected from the group consisting of phenyl, imidazolyl, indolyl, furanyl, benzofuranyl and 2,3-dihydrobenzofuranyl;

where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, CN, optionally

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substituted alkyl, -O-(optionally substituted alkyl), -COOH, - $Z^{105}$ -C(O)N(R)<sub>2</sub>, - $Z^{105}$ -N(R)-C(O)- $Z^{200}$ , - $Z^{105}$ -N(R)-S(O)<sub>2</sub>- $Z^{200}$ , and - $Z^{105}$ -N(R)-C(O)-N(R)- $Z^{200}$ ;  $Z^{105}$  is a covalent bond or (C<sub>1</sub>-C<sub>6</sub>);

 $Z^{200}$  is an optionally substituted group selected from group consisting of  $(C_1-C_6)$ , phenyl and  $-(C_1-C_6)$ -phenyl;

 $Z^{110}$  and  $Z^{111}$  are each independently a covalent bond or (C<sub>1</sub>-C<sub>3</sub>) group optionally substituted with alkyl, hydroxy, COOH, CN or phenyl; and

A is O, -N(R)-C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)- or -N(R)-C(O)-, where R is H or alkyl.

- 76. (Original) A compound according to Claim 75, wherein R<sub>4</sub> is methyl.
- 77. (Original) A compound according to Claim 8, 9 or 10, wherein

$$R_a$$

$$A-Z^{100}$$

 $R_1$  is where  $Z^{100}$  is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

- 78. (Original) A compound according to Claim 77, wherein  $R_4$  is methyl; A is -NH-; there is only one  $R_a$  and it is H or F; and  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of alkyl, halo,  $CF_3$ , and alkoxy.
- 79. (Original) A compound according to Claim 9, wherein

$$R_{a}$$
  $Z^{110}A - Z^{111}Z^{100}$ 

 $Z^{100}$  is an optionally substituted group selected from the group consisting of phenyl, pyrrolyl, pyridyl, benzimidazolyl, naphthyl and

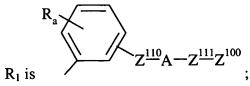
where Z<sup>100</sup> is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, Br, NO<sub>2</sub>, amino, N-

alkylamino, N,N-dialkylamino, CN, optionally substituted alkyl, -O-(optionally substituted alkyl) and phenyl;

 $Z^{110}$  and  $Z^{111}$  for each occurrence is independently ( $C_0$ - $C_3$ ) optionally substituted with optionally substituted phenyl; and

A is -N(R)-C(O)-N(R)-,  $-N(R)-S(O)_2-$ , -N(R)-C(O)-, -N(R)- or -N(R)-C(O)-O-.

- 80. (Original) A compound according to Claim 79, wherein  $R_4$  is methyl and there is only one  $R_a$  and it is F.
- 81. (Original) A compound according to Claim 9 or 66, wherein



Z<sup>100</sup> is an optionally substituted group selected from the group consisting of phenyl, isoxazolyl, tetrahydronaphthyl, furanyl, benzofuranyl, pyridyl and indolyl;

where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, CN, NO<sub>2</sub>, -C(O)H, -CONH<sub>2</sub>, -NHSO<sub>2</sub>CF<sub>3</sub>, optionally substituted alkyl, optionally substituted heteroaryl and -O-(optionally substituted alkyl);

 $Z^{110}$  and  $Z^{111}$  are each independently optionally substituted (C<sub>0</sub>-C<sub>3</sub>); and

 $A \ is \ O, \ -N(R)-C(O)-(CH_2)_n-N(R)-, \ -C(O)-N(R)-, \ -N(R)-C(O)-O-, \ -N(R)-C(O)- \ or \ -N(R)-.$ 

- 82. (Original) A compound according to Claim 81, wherein  $R_4$  is methyl;  $R_a$  is H or methoxy; and  $Z^{110}$  and  $Z^{111}$  are each unsubstituted.
- 83. (Original) A compound according to Claim 9, wherein  $R_1$  is

where R is H or lower alkyl and n is for each occurrence is independently 1 to 6.

84. (Original) A compound according to Claim 83, wherein R<sub>1</sub> is

$$\bigcup_{N} \bigcup_{N} Z^{100}$$

- 85. (Original) A compound according to Claim 84, wherein Z<sup>100</sup> is substituted or unsubstituted phenyl.
- 86. (Original) A compound according to Claim 8, 9 or 10, wherein

$$R_a$$
 $A-Z^{100}$ 

 $R_1$  is where  $Z^{100}$  is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

- 87. (Original) A compound according to Claim 11 wherein n is 2; R<sub>6</sub> is H; m is 1; r is 1; and R<sub>4</sub> and R<sub>5</sub> are each hydrogen.
- 88. (Original) A compound according to claim 64 or 87 wherein R<sub>1</sub> is 4-phenoxyphenyl.